

CLAIMS

1. A lipophilic derivative of a biologically active compound containing in its molecular structure one or more functional groups selected from alcohol, ether, phenyl, amino, amido, thiol, carboxylic acid and carboxylic acid ester groups, other than a nucleoside or nucleoside derivative, said lipophilic derivative being characterised by a molecular structure in which the or at least one said functional group of said biologically active compound is replaced by a lipophilic group selected from those of the formula: RCOO- , RCONH- , RCOS- , $\text{RCH}_2\text{O-}$, $\text{RCH}_2\text{NH-}$, $\text{-COOCH}_2\text{R}$, $\text{-CONHCH}_2\text{R}$ and $\text{-SCH}_2\text{R}$, wherein R is a lipophilic moiety selected from cis-8-heptadecenyl, trans-8-heptadecenyl, cis-10-nonadecenyl and trans-10-nonadecenyl.
2. A lipophilic derivative according to Claim 1, wherein said biologically active compound is a compound having therapeutic activity in human or animal medicine.
3. A lipophilic derivative according to Claim 2, wherein said biologically active compound is an adrenocorticosteroid.
4. A lipophilic derivative according to Claim 3, wherein said adrenocorticosteroid is selected from betamethasone, cortisone, dexamethasone, fluocinolone, fludrocortisone, hydrocortisone, methylprednisolone, prednisolone, triamcinolone, eprozinol, paramethasone, prednisone, beclomethasone and orciprenaline.
5. A lipophilic derivative according to Claim 4, wherein said adrenocorticosteroid is selected from prednisolone and betamethasone.

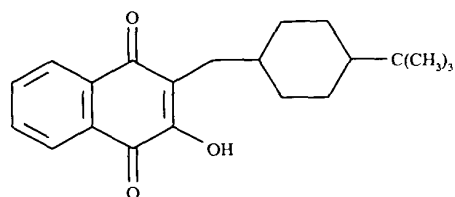
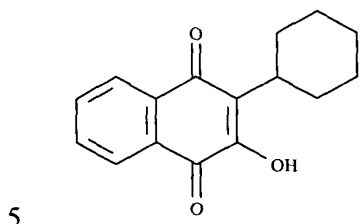
6. The compound: 11 β ,17 α ,21-trihydroxy-pregna-1,4-diene-3,20-dione-21-elaidate.
7. The compound: 9-fluoro-11 β ,17,21-trihydroxy-16 β -methylpregna-1,4-diene-3,20-dione-21-elaidate.
- 5 8. A lipophilic derivative according to Claim 2, wherein said biologically active compound is a non-steroidal antiinflammatory drug (NSAID).
9. A lipophilic derivative according to Claim 8, wherein said NSAID is selected from acemetacin, alclofenac, amfenac, aspirin, bendazac, benorylate, benoxaprofen, bucloxic acid, bufexamac, bumadizon, butibufen, carprofen, 10 cinmetacin, clidanac, clometacin, cloripac, diclofenac, diflunisal, etodolac, etofenamate, felbinac, fenbufen, fenclofenac, fenclorac, fendosal, fenoprofen, fentiazac, flufenamic acid, flurbiprofen, glafenine, ibufenac, ibuprofen, indomethacin, isofezolac, isoxepac, ketoprofen, ketorolac, lonazolac, meclofenamic acid, mefanamic acid, metiazinic acid, nabumetone, naproxen, niflumic acid, 15 oxametacin, oxaprozin, pirazolac, piroxicam, protizinic acid, salicylic acid, sulindac, surgam, tenidap, tenoxicam, tiaramide, tinoridine, tolfenamic acid, tolmetin and zomepirac.
10. A lipophilic derivative according to Claim 9, wherein said NSAID is selected from diclofenac, indomethacin, naproxen and piroxicam.
- 20 11. The compound: (2-[2,6-dichlorophenyl)aminobenzeneacetic acid)-(cis-9'-octadecenyl)ester.
12. The compound: 1-(p-chlorobenzoyl)-5-methoxy-2-methylindole-3-acetic acid (cis-9-octadecenyl)amide.

13. The compound: S(+)-2-(6-methoxy-2-naphthyl)propionic acid (cis-9'-octadecenyl)amide.
14. The compound: S(+)-2-(6-methoxy-2-naphthyl)propionic acid-cis-9'-octadecenyl ester.
15. The compound: 4-O-(trans-9'-octadecenoyl)-2-methyl-N(2-pyridyl)-2H-1,2-benzothiazine-3-carboxamide-1,1-dioxide.
16. The compound: 4-O-(cis-11'-eicosenoyl)-2-methyl-N(2-pyridyl)-2H-1,2-benzothiazine-3-carboximide-1,1-dioxide.
17. The compound: 2-hydroxy-benzoic acid-(cis-9'-octadecenyl)ester.
18. The compound: 2-(cis-9'-octadecenoxo)-ethyl benzoate.
19. The compound: 2-(cis-9'-octadecenoxo)-benzoic acid.
20. The compound: S(+)-2-(6-[cis-9'-octadecenoxo]-2-naphthyl)-propionic acid ethyl ester.
21. The compound: S(+)-2-(6-[cis-9'-octadecenoxo]-2-naphthyl)-propionic acid.
22. A lipophilic derivative according to Claim 2, wherein said biologically active compound is an anti-cancer drug.
23. A lipophilic derivative according to Claim 22, wherein said anti-cancer drug is selected from megestrol, medroxyprogesterone, hexestrol, trilostane, amino-glutethimide, epitiostanol, calusterone, podophyllinic acid 2-ethylhydrazide, pirarubicin, doxorubicin, daunorubicin, taxol, mepidamol, mitoxantrone, lonidamine, etoposide, eflornitine, defosamide, trimetrexate, methotrexate, deopterin, thioguanin, thiamiprene, mercaptopurin, dacarbazine, nimustine, chlorozotocin, melphalan, estramustin, cyclophosphamide, chlorambucil and trimethylmelamine.
24. A lipophilic derivative according to Claim 23, wherein said anti-cancer drug is selected from chlorambucil, melphalan and taxol.

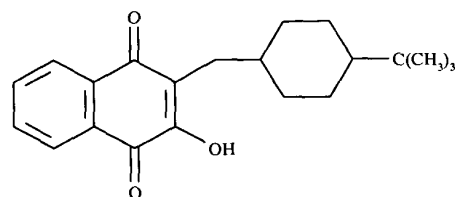
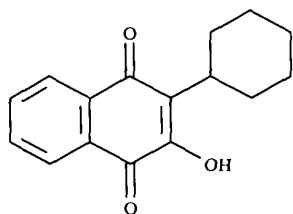
25. The compound: chlorambucil-oleyl ester.
26. The compound: elaidic acid mephalan amide.
27. The compound: taxol-2'-elaidate.
28. The compound: elaidic acid daunorubicin amide.
- 5 29. The compound: elaidic acid doxorubicin amide.
30. The compound: daunorubicine oleyl carbamate.
31. The compound: doxorubicin oleyl carbamate.
32. A lipophilic derivative according to Claim 2, wherein said biologically active compound is an antimicrobial agent.
- 10 33. A lipophilic derivative according to Claim 32, wherein said antimicrobial agent is selected from oxacillin, ampicillin, amoxicillin, cephalixin, cephalotin, cephalosporin, doxycyclin, chloramphenicol, p-amino-salicylic acid, ethambutol, ciprofloxacin, enrofloxacin, difloxacin and danofloxacin.
- 15 34. A lipophilic derivative according to Claim 33, wherein said antimicrobial agent is selected from p-amino salicylic acid, chloramphenicol, oxacillin and ampicillin.
35. The compound: p-amino-salicylic acid elaidylester.
36. The compound: 4-(elaidamido)salicylic acid.
- 20 37. The compound: elaidic acid chloramphenicol ester.
38. The compound: oxacillin oleyl ester.
39. The compound: elaidic acid ampicillin amide.
40. The compound: ampicillin oleyl ester.
41. A lipophilic derivative according to Claim 2, wherein said

biologically active compound is an antiparasitic drug.

42. A lipophilic derivative according to Claim 41, wherein said antiparasitic drug is selected from amodiaquine, hydroxychloroquine, mefloquine, mepacrine, decoquinate, zoalene, a compound of the formula:



and a compound of the formula:



43. The compound: 7-chloro-4-[4-[ethyl(2-elaidoyloxyethyl)-amino]]-methylbutylamino]quinolone.

10 44. A lipophilic derivative according to Claim 2, wherein said biologically active compound is a CNS drug.

45. A lipophilic derivative according to Claim 44, wherein said CNS drug is selected from carbamazepine, phenacemid, phenaglycodol, phenytoin, sulthiame, valproic acid, benapyrazine, biperiden and levodopa.

15 46. A lipophilic derivative according to Claim 2, wherein said biologically active compound is a cardiovascular drug.

47. A lipophilic derivative according to Claim 46, wherein said cardiovascular drug is selected from captopril, enalapril, bunitrol, seloken, labetalol and seloken.

20 48. The compound: 3-(α -acetonilbenzyl)-4-elaidoyloxycoumarin.

49. A pharmaceutical preparation, comprising a compound according to

any one of Claims 2-47 and a pharmaceutically acceptable carrier or excipient.

50. A lipophilic derivative according to Claim 1, wherein said biologically active compound is an agrochemical.

51. A lipophilic derivative according to Claim 50, wherein said agrochemical is pesticide, fungicide or herbicide.

52. A lipophilic derivative according to Claim 50, wherein said agrochemical is selected from aminotriazole, asulam, benazolin, bromofenoxim, bromoxynil, 2,4-D, DICAMBA, diclobutrazol, dinoterb, fluazifop, mecoprop, picloram, sulfomethuron, methamidophos, trichlorophon, ancymidol, hormodin, cycloheximide, hymexazol and ethirimol.

53. A composition useful in agriculture and horticulture, comprising a compound according to any one of Claims 50-52 and a carrier or diluent therefor.

54. A method for modifying the activity of a biologically active compound containing in its molecular structure one or more functional groups selected from alcohol, ether, phenyl, amino, amido, thiol, carboxylic acid and carboxylic acid ester groups, other than a nucleoside or nucleoside analogue, comprising replacing the or at least one said functional group of said biologically active compound by a lipophilic group selected from those of the formula: RCOO- , RCONH- , RCOS- , $\text{RCH}_2\text{O-}$, $\text{RCH}_2\text{NH-}$, $\text{-COOCH}_2\text{R}$, $\text{-CONHCH}_2\text{R}$ and $\text{-SCH}_2\text{R}$, wherein R is a lipophilic moiety selected from cis-8-heptadecenyl, trans-8-heptadecenyl, cis-10-nonadecenyl and trans-10-nonadecenyl.

55. A method of preparing a lipophilic derivative according to Claim 1, characterised in that said biologically active compound is reacted with a cis or trans-n-9 monounsaturated fatty acid, fatty alcohol or fatty amine having a chain length of 18 or 20 carbon atoms, or with a reactive derivative of such fatty acid, fatty alcohol or fatty amine.